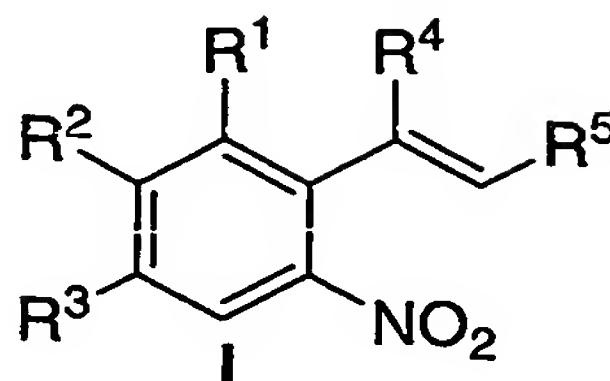


## WHAT IS CLAIMED IS:

1. A compound of Formula I:



5 wherein

$R^a$  is independently selected from a) hydrogen, and b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl;

$R^1$  is a) hydrogen, b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, and c)  $OR^7$ ;

10

$R^2$  is a) hydrogen, b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, c)  $(CR^{a_2})_nR^7$ , d)  $O(CR^{a_2})_nOR^7$ , e)  $O(CR^{a_2})_nR^7$ , or f) halo;

$R^3$  is a) hydrogen, b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, or c)  $OR^7$ ;

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$R^2$  and  $R^3$  can be taken together to form a cyclic moiety,  $(CH_2)_u$ , said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

$R^4$  is a) hydrogen, b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, c)  $OR^7$ , or d)  $C(O)_2R^7$ ;

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$R^5$  is a) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, b)  $C_2$ - $C_6$  alkenyl- $R^7$ , c)  $C_2$ - $C_6$  alkynyl- $R^7$ , d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, f)  $C(O)NR^7(CR^{a_2})_nC(O)OR^7$ , or g)  $C(O)R^7$ ; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, iii)  $OR^7$ , iv)  $NR^7_2$ , v)  $NO_2$ , and vi)  $S(O)_mR^6$ ;

25

$R^6$  is independently selected from a) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, and b) unsubstituted or substituted aryl;

30  $R^7$  is independently selected from a) H, b) unsubstituted or substituted  $C_1$ - $C_6$  alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e)  $CF_3$ ; said alkyl, aryl and

heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, iii) OR<sup>7</sup>, iv) NR<sup>7</sup><sub>2</sub>, v) NO<sub>2</sub>, and vi) S(O)<sub>m</sub>R<sup>6</sup>,

m is 1 or 2;

5

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

10 or a salt thereof.

2. The compound according to Claim 1, wherein:

R<sup>1</sup> is hydrogen;

15

R<sup>4</sup> is a) hydrogen, or b) C(O)<sub>2</sub>R<sup>7</sup>;

or a salt thereof.

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3. The compound of Claim 1 selected from:

*Trans*-3-{2-[5-(4-methanesulfonyl-piperazine-1-ylmethyl)-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline;

Methyl-*N*-[(2*E*)-3-(6-nitro-1,3-benzodioxol-5-yl)prop-2-enoyl]glycinate;

(2*E*)-3-(2-nitrophenyl)-1-phenylprop-2-en-1-one;

(2*E*)-3-(2-nitrophenyl)acrylaldehyde;

25

2-Nitro-1-[(1*E*)-prop-1-en-1-yl]-4-(trifluoromethoxy)benzene;

2-Methoxy-5-[(*E*)-2-(5-methoxy-2-nitrophenyl)vinyl]pyridine;

2-Methoxy-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]pyridine;

2-Chloro-3-[(*E*)-2-[5-(2-methoxyethoxy)-2-nitrophenyl]vinyl]quinoline;

2-Methoxy-3-[(*E*)-2-[5-(2-methoxyethoxy)-2-nitrophenyl]vinyl]quinoline;

30 2-Methoxy-3-[(*E*)-2-[2-nitro-5-(2-piperidin-1-ylethoxy)phenyl]vinyl]quinoline;

2-Chloro-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]quinoline;

2-Methoxy-3-[(*E*)-2-(5-methyl-2-nitrophenyl)vinyl]quinoline;

3-[(*E*)-2-(5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-2-nitrophenyl)vinyl]quinolin-2-(1*H*)-one;

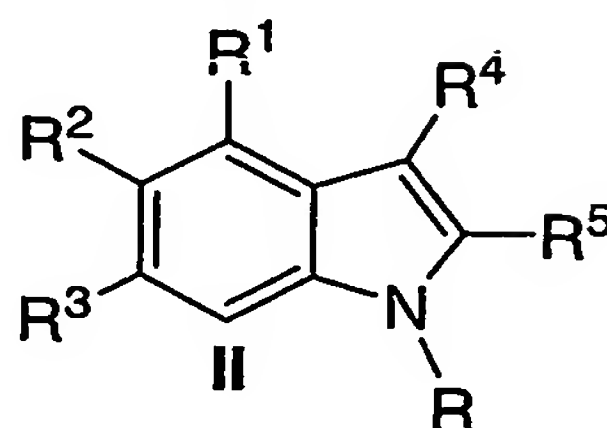
2-[(*E*)-2-(5-chloro-2-nitrophenyl)vinyl]-1-(phenylsulfonyl)-1*H*-indole;

35 Methyl (2*Z*)-2-[2-nitro-4-(trifluoromethoxy)phenyl]-3-phenylacrylate;

1,1'-(1*E*,3*E*)-buta-1,3-diene-1,4-diylbis(2-nitrobenzene);

or a salt thereof.

5 4. A compound of Formula II:



wherein

R is H or OH;

10 R<sup>a</sup> is independently selected from a) hydrogen, and b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, and c) OR<sup>7</sup>;

15 R<sup>2</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) (CR<sup>a</sup><sub>2</sub>)<sub>n</sub>R<sup>7</sup>, d) O(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>OR<sup>7</sup>, e) O(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>R<sup>7</sup>, or f) halo;

R<sup>3</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, or c) OR<sup>7</sup>;

20 R<sup>2</sup> and R<sup>3</sup> can be taken together to form a cyclic moiety, (CH<sub>2</sub>)<sub>u</sub>, said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

R<sup>4</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) OR<sup>7</sup>, or d) C(O)<sub>2</sub>R<sup>7</sup>;

25 R<sup>5</sup> is a) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, b) C<sub>2</sub>-C<sub>6</sub> alkenyl-R<sup>7</sup>, c) C<sub>2</sub>-C<sub>6</sub> alkynyl-R<sup>7</sup>, d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, or f) C(O)NR<sup>7</sup>(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>C(O)OR<sup>7</sup>; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, iii) OR<sup>7</sup>, iv) NR<sup>7</sup>, v) NO<sub>2</sub>, and vi) S(O)<sub>m</sub>R<sup>6</sup>;

30

R<sup>6</sup> is independently selected from a) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, and b) unsubstituted or substituted aryl;

R<sup>7</sup> is independently selected from a) H, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e) CF<sub>3</sub>; said alkyl, aryl and heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, iii) OR<sup>7</sup>, iv) NR<sup>7</sup><sub>2</sub>, v) NO<sub>2</sub>, and vi) S(O)<sub>m</sub>R<sup>6</sup>,

m is 1 or 2;

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

or a pharmaceutically acceptable salt thereof.

5. The compound according to Claim 4 wherein:

R<sup>1</sup> is hydrogen;

R<sup>4</sup> is hydrogen or C(O)<sub>2</sub>R<sup>7</sup>;

R<sup>5</sup> is a) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, b) unsubstituted or substituted aryl, c) unsubstituted or substituted heterocyclyl, or d) C(O)NR<sup>7</sup>(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>C(O)OR<sup>7</sup>;

or a pharmaceutically acceptable salt thereof.

6. The compound according to Claim 5 selected from:

2-Methoxy-3-[5-(piperazin-1-ylmethyl)-1*H*-indol-2-yl]quinoline;

*N*-(Carbomethoxy)-5,6-methylenedioxy-1*H*-indole-2-carboxamide;

2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1*H*-indol-1-ol;

2-Methoxy-6-[5-methoxy-1*H*-indol-2-yl] pyridine;

2-Methoxy-3-[5-methyl-1*H*-indol-2-yl] pyridine;

2-Chloro-3-[5-(methoxyethoxy)-1*H*-indol-2-yl]quinoline;

2-Methoxy-3-[5-(methoxyethoxy)-1*H*-indol-2-yl]quinoline;

2-Methoxy-3-[5-(1-piperdinyloxy)-1*H*-indol-2-yl]quinoline;  
 2-Chloro-3-(5-methyl-1*H*-indol-2-yl)quinoline;  
 2-Methoxy-3-(5-methyl-1*H*-indol-2-yl)quinoline;  
 3-[5-[4-(Methylsulfonyl)-1-piperazinyl]methyl]-1*H*-indole-2-yl]quinolin-2(1*H*)-one;  
 5 1-Benzenesulfonyl-2-(1'-benzyl-5-chloroindol-2'-yl) indole;  
 Methyl 2-phenylindole-3-carboxylate;

or a pharmaceutically acceptable salt thereof.

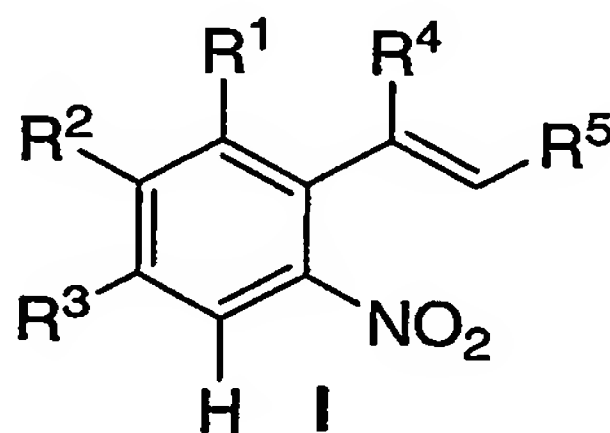
10 7. A compound selected from:

2-(2-methoxyquinolin-3-yl)-6-methyl-5-[[4-(methylsulfonyl)piperazin-1-yl]methyl]-1*H*-indol-1-ol; and  
 2-Methoxy-3-[5-[[4-(methylsulfonyl)-1-piperazinyl]methyl]-1*H*-indol-2-yl]-quinoline

or a pharmaceutically acceptable salt thereof.

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8. A process for preparing the compound of the Formula II, according to Claim 4, which comprises a palladium-catalyzed reductive cyclization of an ortho-nitrostyrene of Formula I:



wherein

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R<sup>a</sup> is independently selected from a) hydrogen, and b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl;

R<sup>1</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, and c) OR<sup>7</sup>;

25 R<sup>2</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) (CR<sup>a</sup><sub>2</sub>)<sub>n</sub>R<sup>7</sup>, d) O(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>OR<sup>7</sup>, e) O(CR<sup>a</sup><sub>2</sub>)<sub>n</sub>R<sup>7</sup>, or f) halo;

R<sup>3</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, or c) OR<sup>7</sup>;

R<sup>2</sup> and R<sup>3</sup> can be taken together to form a cyclic moiety, (CH<sub>2</sub>)<sub>u</sub>, said cyclic moiety optionally containing one or two heteroatoms selected from N, O and S;

R<sup>4</sup> is a) hydrogen, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) OR<sup>7</sup>, or d) C(O)<sub>2</sub>R<sup>7</sup>;

5 R<sup>5</sup> is a) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, b) C<sub>2</sub>-C<sub>6</sub> alkenyl-R<sup>7</sup>, c) C<sub>2</sub>-C<sub>6</sub> alkynyl-R<sup>7</sup>, d) unsubstituted or substituted aryl, e) unsubstituted or substituted heterocyclyl, f) C(O)NR<sup>7</sup>(CRA<sub>2</sub>)<sub>n</sub>C(O)OR<sup>7</sup>, or g) C(O)R<sup>7</sup>; said alkyl, alkenyl, alkynyl, aryl or heterocyclyl is optionally substituted with at least one substituent selected from: i) halo, ii) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, iii) OR<sup>7</sup>, iv) NR<sup>7</sup><sub>2</sub>, v) NO<sub>2</sub>, and vi) S(O)<sub>m</sub>R<sup>6</sup>;

R<sup>6</sup> is independently selected from a) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, and b) unsubstituted or substituted aryl;

15 R<sup>7</sup> is independently selected from a) H, b) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, c) unsubstituted or substituted aryl, d) unsubstituted or substituted heterocyclyl, and e) CF<sub>3</sub>; said alkyl, aryl and heterocyclyl is optionally substituted with at least one substituent selected from i) halo, ii) unsubstituted or substituted C<sub>1</sub>-C<sub>6</sub> alkyl, iii) OR<sup>7</sup>, iv) NR<sup>7</sup><sub>2</sub>, v) NO<sub>2</sub>, and vi) S(O)<sub>m</sub>R<sup>6</sup>,

20 m is 1 or 2;

n is independently 0, 1, 2, 3, or 4;

u is 4, 5, 6, 7 or 8;

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to produce a compound of Formula II.

9. The process of Claim 8, wherein the palladium catalyst is generated *in situ*.

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10. The process of Claim 9 wherein the palladium catalyst is comprised of a palladium source, which is selected from palladium (II) acetate, palladium (II) trifluoroacetate and Pd<sub>2</sub>(dba)<sub>3</sub>, and a ligand, which is selected from an aromatic diamine.

35 11. The process of Claim 10, wherein the aromatic diamine is selected from 1,10-phenanthroline (phen), 3,4,7,8-tetramethyl-1,10-phenanthroline and bipyridine.

12. The process of Claim 11 wherein the palladium is about 0.05 to about 1.5 mol% and the ligand is about 0.2 to about 25 mol%.

5 13. The process of Claim 8 wherein the palladium catalyst is preformed and is selected from  $\text{phen}_2\text{Pd}(\text{OTf})_2$ ,  $\text{phen}_2\text{Pd}(\text{PF}_6)_2$  and  $\text{phen}_2\text{Pd}(\text{BF}_4)_2$ .

14. The process of Claim 13 which further comprises an additive, which is selected from  $\text{Ag}(\text{OTf})_2$  and  $\text{Cu}(\text{OAc})_2$ .

10 15. The process of Claim 14 which further comprises a solvent selected from dimethylformamide, DMSO, THF, acetonitrile, toluene, dimethylacetamide, N-methyl pyrrolidinone, and ortho-dichlorobenzene.

15 16. The process of Claim 11 wherein the palladium catalyst is palladium (II) trifluoroacetate, the aromatic diamine is 3,4,7,8-tetramethyl-1,10-phenanthroline, and a solvent is added.

17. The process of Claim 16 wherein the pressure is about 15 psig CO and the temperature is about 70 °C.

20 18. A process for preparing 2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1H-indol-1-ol which comprises

- 25 a) mixing *trans*-3-{2-[5-(4-methanesulfonyl-piperazine-1-ylmethyl)-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline with a palladium catalyst and a solvent to produce a reaction mixture;
- b) pressurizing the reaction mixture to about 15 psig with CO and maintaining a temperature of about 70 °C; and
- 30 c) isolating 2-(2-methoxyquinolin-3-yl)-6-methyl-5-{[4-(methylsulfonyl)piperazin-1-yl]methyl}-1H-indol-1-ol.

19. A process for preparing 2-methoxy-3-[5-{[4-(methylsulfonyl)-1-piperazinyl]methyl}-1H-indol-2-yl]-quinoline which comprises

- 35 a) mixing *trans*-3-{2-[5-(4-methanesulfonyl-piperazine-1-ylmethyl)-2-nitro-phenyl]-vinyl}-2-methoxy-quinoline with a palladium catalyst, an aromatic diamine and a solvent to produce a reaction mixture;

- b) pressurizing the reaction mixture to about 15 psig with CO and maintaining a temperature of about 70 °C; and
- c) isolating 2-methoxy-3-[5-[[4-(methanesulfonyl)-1-piperazinyl]methyl]-1*H*-indol-2-yl]-quinoline.